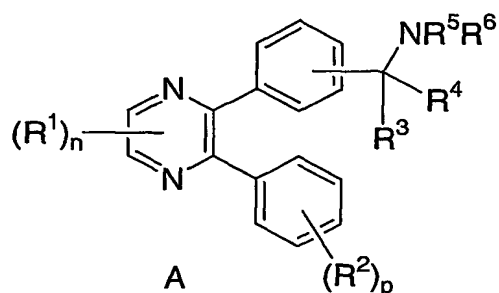


WHAT IS CLAIMED IS:

1. A compound of the Formula A:



wherein:

- a is 0 or 1;
 b is 0 or 1;
 10 m is 0, 1 or 2;
 n is 0, 1 or 2;
 p is 0, 1 or 2;
 r is 0 or 1;
 s is 0 or 1;
 15 t is 2, 3, 4, 5 or 6;

R¹ is independently selected from:

- 1) (C=O)_aO_bC₁-C₁₀ alkyl,
- 2) (C=O)_aO_baryl,
- 20 3) C₂-C₁₀ alkenyl,
- 4) C₂-C₁₀ alkynyl,
- 5) (C=O)_aO_b heterocyclyl,
- 6) (C=O)_aO_bC₃-C₈ cycloalkyl,
- 7) CO₂H,
- 25 8) halo,
- 9) CN,
- 10) OH,
- 11) O_bC₁-C₆ perfluoroalkyl,

- 12) $O_a(C=O)_bNR^7R^8$,
 13) $NR^c(C=O)NR^7R^8$,
 14) $S(O)_mR^a$,
 15) $S(O)_2NR^7R^8$,
 5 16) $NR^cS(O)_mR^a$,
 17) oxo,
 18) CHO,
 19) NO₂,
 20) $NR^c(C=O)O_bR^a$,
 10 21) $O(C=O)O_bC_1-C_{10}$ alkyl,
 22) $O(C=O)O_bC_3-C_8$ cycloalkyl,
 23) $O(C=O)O_b$ aryl, and
 24) $O(C=O)O_b$ -heterocycle,

15 said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted with one or more substituents selected from R^Z;

R² is independently selected from:

- 1) $(C=O)_aO_bC_1-C_{10}$ alkyl,
 2) $(C=O)_aO_b$ aryl,
 20 3) C₂-C₁₀ alkenyl,
 4) C₂-C₁₀ alkynyl,
 5) $(C=O)_aO_b$ heterocyclyl,
 6) $(C=O)_aO_bC_3-C_8$ cycloalkyl,
 7) CO₂H,
 25 8) halo,
 9) CN,
 10) OH,
 11) $O_bC_1-C_6$ perfluoroalkyl,
 12) $O_a(C=O)_bNR^7R^8$,
 30 13) $NR^c(C=O)NR^7R^8$,
 14) $S(O)_mR^a$,
 15) $S(O)_2NR^7R^8$,
 16) $NR^cS(O)_mR^a$,
 17) CHO,

- 18) NO_2 ,
 19) $\text{NR}^c(\text{C}=\text{O})\text{O}_b\text{R}^a$,
 20) $\text{O}(\text{C}=\text{O})\text{O}_b\text{C}_1\text{-C}_{10}$ alkyl,
 21) $\text{O}(\text{C}=\text{O})\text{O}_b\text{C}_3\text{-C}_8$ cycloalkyl,
 5 22) $\text{O}(\text{C}=\text{O})\text{O}_b\text{aryl}$, and
 23) $\text{O}(\text{C}=\text{O})\text{O}_b\text{-heterocycle}$,

said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted with one, two or three substituents selected from R^z ;

- 10 R^3 and R^4 are independently selected from: H, $\text{C}_1\text{-C}_6\text{-alkyl}$ and $\text{C}_1\text{-C}_6\text{-perfluoroalkyl}$, or

R^3 and R^4 are combined to form $-(\text{CH}_2)_t-$ wherein one of the carbon atoms is optionally replaced by a moiety selected from O, $\text{S}(\text{O})_m$, $-\text{N}(\text{R}^b)\text{C}(\text{O})-$, and

- 15 $-\text{N}(\text{COR}^a)-$;

R^5 and R^6 are independently selected from:

- 1) H,
 2) $(\text{C}=\text{O})\text{O}_b\text{R}^a$,
 20 3) $\text{C}_1\text{-C}_{10}$ alkyl,
 4) aryl,
 5) $\text{C}_2\text{-C}_{10}$ alkenyl,
 6) $\text{C}_2\text{-C}_{10}$ alkynyl,
 7) heterocyclyl,
 25 8) $\text{C}_3\text{-C}_8$ cycloalkyl,
 9) SO_2R^a , and
 10) $(\text{C}=\text{O})\text{NR}^{b_2}$,

said alkyl, cycloalkyl, aryl, heterocyclyl, alkenyl, and alkynyl is optionally substituted with one or more substituents selected from R^z , or

30

R^5 and R^6 can be taken together with the nitrogen to which they are attached to form a monocyclic or bicyclic heterocycle with 5-7 members in each ring and optionally containing, in addition to the nitrogen, one or two additional heteroatoms selected

from N, O and S, said monocyclic or bicyclic heterocycle optionally substituted with Q and also optionally substituted with one or more substituents selected from R^Z;

R⁷ and R⁸ are independently selected from:

- 5 1) H,
- 2) (C=O)O_bC₁-C₁₀ alkyl,
- 3) (C=O)O_bC₃-C₈ cycloalkyl,
- 4) (C=O)O_baryl,
- 5) (C=O)O_bheterocyclyl,
- 10 6) C₁-C₁₀ alkyl,
- 7) aryl,
- 8) C₂-C₁₀ alkenyl,
- 9) C₂-C₁₀ alkynyl,
- 10) heterocyclyl,
- 15 11) C₃-C₈ cycloalkyl,
- 12) SO₂R^a, and
- 13) (C=O)NR^b₂,

said alkyl, cycloalkyl, aryl, heterocyclyl, alkenyl, and alkynyl is optionally substituted with one or more substituents selected from R^Z, or

20

R⁷ and R⁸ can be taken together with the nitrogen to which they are attached to form a monocyclic or bicyclic heterocycle with 5-7 members in each ring and optionally containing, in addition to the nitrogen, one or two additional heteroatoms selected from N, O and S, said monocyclic or bicyclic heterocycle optionally substituted with

25

R^Z is selected from:

- 1) (C=O)_rO_s(C₁-C₁₀)alkyl,
- 2) O_r(C₁-C₃)perfluoroalkyl,
- 30 3) (C₀-C₆)alkylene-S(O)_mR^a,
- 4) oxo,
- 5) OH,
- 6) halo,
- 7) CN,
- 35 8) (C=O)_rO_s(C₂-C₁₀)alkenyl,

- 9) $(\text{C}=\text{O})_r\text{O}_s(\text{C}_2\text{-C}_{10})\text{alkynyl}$,
- 10) $(\text{C}=\text{O})_r\text{O}_s(\text{C}_3\text{-C}_6)\text{cycloalkyl}$,
- 11) $(\text{C}=\text{O})_r\text{O}_s(\text{C}_0\text{-C}_6)\text{alkylene-aryl}$,
- 12) $(\text{C}=\text{O})_r\text{O}_s(\text{C}_0\text{-C}_6)\text{alkylene-heterocyclyl}$,
- 5 13) $(\text{C}=\text{O})_r\text{O}_s(\text{C}_0\text{-C}_6)\text{alkylene-N(R}^b)_2$,
- 14) C(O)R^a ,
- 15) $(\text{C}_0\text{-C}_6)\text{alkylene-CO}_2\text{R}^a$,
- 16) C(O)H ,
- 17) $(\text{C}_0\text{-C}_6)\text{alkylene-CO}_2\text{H}$,
- 10 18) $\text{C(O)N(R}^b)_2$,
- 19) $\text{S(O)}_m\text{R}^a$,
- 20) $\text{S(O)}_2\text{N(R}^b)_2$
- 21) $\text{NR}^c(\text{C}=\text{O})\text{O}_b\text{R}^a$,
- 22) $\text{O}(\text{C}=\text{O})\text{O}_b\text{C}_1\text{-C}_{10}\text{ alkyl}$,
- 15 23) $\text{O}(\text{C}=\text{O})\text{O}_b\text{C}_3\text{-C}_8\text{ cycloalkyl}$,
- 24) $\text{O}(\text{C}=\text{O})\text{O}_b\text{aryl}$, and
- 25) $\text{O}(\text{C}=\text{O})\text{O}_b\text{-heterocycle}$,

said alkyl, alkenyl, alkynyl, cycloalkyl, aryl, and heterocyclyl is optionally substituted with up to three substituents selected from R^b , OH, $(\text{C}_1\text{-C}_6)\text{alkoxy}$, halogen, CO_2H ,
 20 CN, $\text{O}(\text{C}=\text{O})\text{C}_1\text{-C}_6\text{ alkyl}$, oxo, and $\text{N(R}^b)_2$;

R^a is substituted or unsubstituted $(\text{C}_1\text{-C}_6)\text{alkyl}$, substituted or unsubstituted $(\text{C}_2\text{-C}_6)\text{alkenyl}$, substituted or unsubstituted $(\text{C}_2\text{-C}_6)\text{alkynyl}$, substituted or unsubstituted $(\text{C}_3\text{-C}_6)\text{cycloalkyl}$, substituted or unsubstituted aryl, $(\text{C}_1\text{-C}_6)\text{perfluoroalkyl}$, 2,2,2-trifluoroethyl, or substituted or unsubstituted heterocyclyl; and
 25

R^b is H, $(\text{C}_1\text{-C}_6)\text{alkyl}$, substituted or unsubstituted aryl, substituted or unsubstituted benzyl, substituted or unsubstituted heterocyclyl, $(\text{C}_3\text{-C}_6)\text{cycloalkyl}$, $(\text{C}=\text{O})\text{OC}_1\text{-C}_6\text{ alkyl}$, $(\text{C}=\text{O})\text{C}_1\text{-C}_6\text{ alkyl}$ or $\text{S(O)}_2\text{R}^a$;

30

R^c is selected from:

- 1) H,
- 2) $\text{C}_1\text{-C}_{10}\text{ alkyl}$,
- 3) aryl,

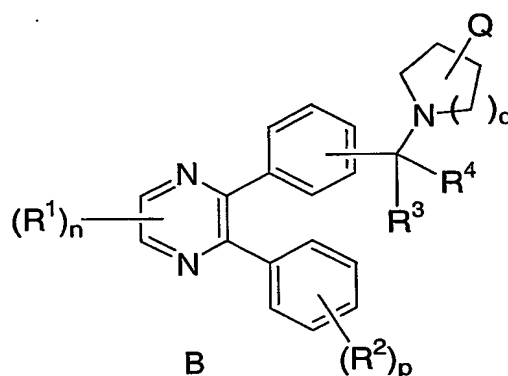
- 4) C₂-C₁₀ alkenyl,
 5) C₂-C₁₀ alkynyl,
 6) heterocyclyl,
 7) C₃-C₈ cycloalkyl,
 5 8) C₁-C₆ perfluoroalkyl,

said alkyl, cycloalkyl, aryl, heterocyclyl, alkenyl, and alkynyl is optionally substituted with one or more substituents selected from R^z;

or a pharmaceutically acceptable salt or a stereoisomer thereof.

10

2. A compound of the Formula B:



15 wherein:

- a is 0 or 1;
 b is 0 or 1;
 m is 0, 1 or 2;
 20 n is 0, 1 or 2;
 p is 0, 1 or 2;
 q is 0, 1, 2, 3 or 4;
 r is 0 or 1;
 s is 0 or 1;
 25 t is 2, 3, 4, 5 or 6;

Q is selected from: $-NR^7R^8$, aryl and heterocyclyl, said aryl and heterocyclyl optionally substituted with one to three substituents selected from R^Z ;

R^1 is independently selected from:

- 5 1) $(C=O)_aO_bC_1-C_{10}$ alkyl,
- 2) $(C=O)_aO_b$ aryl,
- 3) C_2-C_{10} alkenyl,
- 4) C_2-C_{10} alkynyl,
- 5) $(C=O)_aO_b$ heterocyclyl,
- 10 6) $(C=O)_aO_bC_3-C_8$ cycloalkyl,
- 7) CO_2H ,
- 8) halo,
- 9) CN ,
- 10) OH ,
- 15 11) $O_bC_1-C_6$ perfluoroalkyl,
- 12) $O_a(C=O)_bNR^7R^8$,
- 13) $NR^c(C=O)NR^7R^8$,
- 14) $S(O)_mR^a$,
- 15) $S(O)_2NR^7R^8$,
- 20 16) $NR^cS(O)_mR^a$,
- 17) oxo,
- 18) CHO ,
- 19) NO_2 ,
- 20) $NR^c(C=O)O_bR^a$,
- 25 21) $O(C=O)O_bC_1-C_{10}$ alkyl,
- 22) $O(C=O)O_bC_3-C_8$ cycloalkyl,
- 23) $O(C=O)O_b$ aryl, and
- 24) $O(C=O)O_b$ -heterocycle,

30 said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted with one or more substituents selected from R^Z ;

R^2 is independently selected from:

- 1) $(C=O)_aO_bC_1-C_{10}$ alkyl,
- 2) $(C=O)_aO_b$ aryl,

- 3) C₂-C₁₀ alkenyl,
- 4) C₂-C₁₀ alkynyl,
- 5) (C=O)_aO_b heterocyclyl,
- 6) (C=O)_aO_bC₃-C₈ cycloalkyl,
- 5 7) CO₂H,
- 8) halo,
- 9) CN,
- 10) OH,
- 11) O_bC₁-C₆ perfluoroalkyl,
- 10 12) O_a(C=O)_bNR⁷R⁸,
- 13) NR^c(C=O)NR⁷R⁸,
- 14) S(O)_mR^a,
- 15) S(O)₂NR⁷R⁸,
- 16) NR^cS(O)_mR^a,
- 15 17) CHO,
- 18) NO₂,
- 19) NR^c(C=O)O_bR^a,
- 20) O(C=O)O_bC₁-C₁₀ alkyl,
- 21) O(C=O)O_bC₃-C₈ cycloalkyl,
- 20 22) O(C=O)O_baryl, and
- 23) O(C=O)O_b-heterocycle,

said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted with one, two or three substituents selected from R^Z;

- 25 R³ and R⁴ are independently selected from: H, C₁-C₆-alkyl and C₁-C₆-perfluoroalkyl, or

R³ and R⁴ are combined to form -(CH₂)_t- wherein one of the carbon atoms is optionally replaced by a moiety selected from O, S(O)_m, -N(R^b)C(O)-, and

- 30 -N(COR^a)-;

R⁷ and R⁸ are independently selected from:

- 1) H,
- 2) (C=O)O_bC₁-C₁₀ alkyl,

- 3) $(\text{C}=\text{O})\text{O}_b\text{C}_3\text{-C}_8$ cycloalkyl,
- 4) $(\text{C}=\text{O})\text{O}_b$ aryl,
- 5) $(\text{C}=\text{O})\text{O}_b$ heterocyclyl,
- 6) $\text{C}_1\text{-C}_{10}$ alkyl,
- 5 7) aryl,
- 8) $\text{C}_2\text{-C}_{10}$ alkenyl,
- 9) $\text{C}_2\text{-C}_{10}$ alkynyl,
- 10) heterocyclyl,
- 11) $\text{C}_3\text{-C}_8$ cycloalkyl,
- 10 12) SO_2R^a , and
- 13) $(\text{C}=\text{O})\text{NR}^b_2$,

said alkyl, cycloalkyl, aryl, heterocyclyl, alkenyl, and alkynyl is optionally substituted with one or more substituents selected from R^Z , or

- 15 R^7 and R^8 can be taken together with the nitrogen to which they are attached to form a monocyclic or bicyclic heterocycle with 5-7 members in each ring and optionally containing, in addition to the nitrogen, one or two additional heteroatoms selected from N, O and S, said monocyclic or bicyclic heterocycle optionally substituted with one or more substituents selected from R^Z ;

20

R^Z is selected from:

- 1) $(\text{C}=\text{O})_r\text{O}_s(\text{C}_1\text{-C}_{10})$ alkyl,
- 2) $\text{O}_r(\text{C}_1\text{-C}_3)$ perfluoroalkyl,
- 3) $(\text{C}_0\text{-C}_6)$ alkylene- $\text{S}(\text{O})_m\text{R}^a$,
- 25 4) oxo,
- 5) OH,
- 6) halo,
- 7) CN,
- 8) $(\text{C}=\text{O})_r\text{O}_s(\text{C}_2\text{-C}_{10})$ alkenyl,
- 9) $(\text{C}=\text{O})_r\text{O}_s(\text{C}_2\text{-C}_{10})$ alkynyl,
- 30 10) $(\text{C}=\text{O})_r\text{O}_s(\text{C}_3\text{-C}_6)$ cycloalkyl,
- 11) $(\text{C}=\text{O})_r\text{O}_s(\text{C}_0\text{-C}_6)$ alkylene-aryl,
- 12) $(\text{C}=\text{O})_r\text{O}_s(\text{C}_0\text{-C}_6)$ alkylene-heterocyclyl,
- 13) $(\text{C}=\text{O})_r\text{O}_s(\text{C}_0\text{-C}_6)$ alkylene- $\text{N}(\text{R}^b)_2$,

- 14) $C(O)R^a$,
 15) $(C_0-C_6)alkylene-CO_2R^a$,
 16) $C(O)H$,
 17) $(C_0-C_6)alkylene-CO_2H$,
 5 18) $C(O)N(R^b)_2$,
 19) $S(O)_mR^a$,
 20) $S(O)_2N(R^b)_2$
 20) $NR^c(C=O)O_bR^a$,
 21) $O(C=O)O_bC_1-C_{10} alkyl$,
 10 22) $O(C=O)O_bC_3-C_8 cycloalkyl$,
 23) $O(C=O)O_baryl$, and
 24) $O(C=O)O_b-heterocycle$,

said alkyl, alkenyl, alkynyl, cycloalkyl, aryl, and heterocyclyl is optionally substituted with up to three substituents selected from R^b , OH, $(C_1-C_6)alkoxy$, halogen, CO_2H ,
 15 CN , $O(C=O)C_1-C_6 alkyl$, oxo, and $N(R^b)_2$;

R^a is $(C_1-C_6)alkyl$, $(C_2-C_6)alkenyl$, $(C_2-C_6)alkynyl$, $(C_3-C_6)cycloalkyl$, substituted or unsubstituted aryl, $(C_1-C_6)perfluoroalkyl$, 2,2,2-trifluoroethyl, or substituted or unsubstituted heterocyclyl; and

20 R^b is H, $(C_1-C_6)alkyl$, aryl, heterocyclyl, $(C_3-C_6)cycloalkyl$, $(C=O)OC_1-C_6 alkyl$, $(C=O)C_1-C_6 alkyl$ or $S(O)_2R^a$;

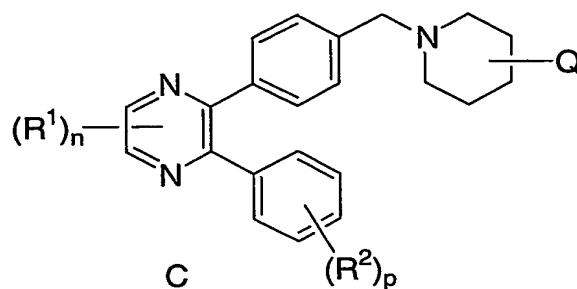
R^c is selected from:

- 25 1) H,
 2) $C_1-C_{10} alkyl$,
 3) aryl,
 4) $C_2-C_{10} alkenyl$,
 5) $C_2-C_{10} alkynyl$,
 30 6) heterocyclyl,
 7) $C_3-C_8 cycloalkyl$,
 8) $C_1-C_6 perfluoroalkyl$,

said alkyl, cycloalkyl, aryl, heterocyclyl, alkenyl, and alkynyl is optionally substituted with one or more substituents selected from R^Z ;

or a pharmaceutically acceptable salt or a stereoisomer thereof.

3. The compound according to Claim 2 of the Formula C:



5

wherein:

- a is 0 or 1;
- 10 b is 0 or 1;
- m is 0, 1 or 2;
- n is 0, 1 or 2;
- p is 0, 1 or 2;
- r is 0 or 1;
- 15 s is 0 or 1;

Q is selected from: -NR⁷R⁸ and heterocyclyl, the heterocyclyl optionally substituted with one or two R^z;

20 R¹ is independently selected from:

- 1) (C=O)_aO_bC₁-C₁₀ alkyl,
- 2) (C=O)_aO_baryl,
- 3) C₂-C₁₀ alkenyl,
- 4) C₂-C₁₀ alkynyl,
- 25 5) (C=O)_aO_b heterocyclyl,
- 6) (C=O)_aO_bC₃-C₈ cycloalkyl,
- 7) CO₂H,
- 8) halo,

- 9) CN,
 10) OH,
 11) $O_bC_1-C_6$ perfluoroalkyl,
 12) $O_a(C=O)_bNR^7R^8$,
 5 13) $NR^c(C=O)NR^7R^8$,
 14) $S(O)_mR^a$,
 15) $S(O)_2NR^7R^8$,
 16) $NR^cS(O)_mR^a$,
 17) oxo,
 10 18) CHO,
 19) NO₂,
 20) $NR^c(C=O)O_bR^a$,
 21) $O(C=O)O_bC_1-C_{10}$ alkyl,
 22) $O(C=O)O_bC_3-C_8$ cycloalkyl,
 15 23) $O(C=O)O_b$ aryl, and
 24) $O(C=O)O_b$ -heterocycle,

said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted with one or more substituents selected from R^Z;

- 20 R² is independently selected from:
 1) $(C=O)_aO_bC_1-C_{10}$ alkyl,
 2) $(C=O)_aO_b$ aryl,
 3) C₂-C₁₀ alkenyl,
 4) C₂-C₁₀ alkynyl,
 25 5) $(C=O)_aO_b$ heterocyclyl,
 6) $(C=O)_aO_bC_3-C_8$ cycloalkyl,
 7) CO₂H,
 8) halo,
 9) CN,
 30 10) OH,
 11) $O_bC_1-C_6$ perfluoroalkyl,
 12) $O_a(C=O)_bNR^7R^8$,
 13) $NR^c(C=O)NR^7R^8$,
 14) $S(O)_mR^a$,
 35 15) $S(O)_2NR^7R^8$,

- 16) $\text{NR}^c\text{S}(\text{O})_m\text{R}^a$,
 17) CHO ,
 18) NO_2 ,
 19) $\text{NR}^c(\text{C}=\text{O})\text{O}_b\text{R}^a$,
 5 20) $\text{O}(\text{C}=\text{O})\text{O}_b\text{C}_1\text{-C}_{10}$ alkyl,
 22) $\text{O}(\text{C}=\text{O})\text{O}_b\text{C}_3\text{-C}_8$ cycloalkyl,
 23) $\text{O}(\text{C}=\text{O})\text{O}_b\text{aryl}$, and
 24) $\text{O}(\text{C}=\text{O})\text{O}_b\text{-heterocycle}$,

10 said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted with one, two or three substituents selected from R^Z ;

R^7 and R^8 are independently selected from:

- 1) H ,
 2) $(\text{C}=\text{O})\text{O}_b\text{C}_1\text{-C}_{10}$ alkyl,
 15 3) $(\text{C}=\text{O})\text{O}_b\text{C}_3\text{-C}_8$ cycloalkyl,
 4) $(\text{C}=\text{O})\text{O}_b\text{aryl}$,
 5) $(\text{C}=\text{O})\text{O}_b\text{heterocyclyl}$,
 6) $\text{C}_1\text{-C}_{10}$ alkyl,
 7) aryl,
 20 8) $\text{C}_2\text{-C}_{10}$ alkenyl,
 9) $\text{C}_2\text{-C}_{10}$ alkynyl,
 10) heterocyclyl,
 11) $\text{C}_3\text{-C}_8$ cycloalkyl,
 12) SO_2R^a , and
 25 13) $(\text{C}=\text{O})\text{NR}^b_2$,

said alkyl, cycloalkyl, aryl, heterocyclyl, alkenyl, and alkynyl is optionally substituted with one or more substituents selected from R^Z , or

30 R^7 and R^8 can be taken together with the nitrogen to which they are attached to form a monocyclic or bicyclic heterocycle with 5-7 members in each ring and optionally containing, in addition to the nitrogen, one or two additional heteroatoms selected from N, O and S, said monocyclic or bicyclic heterocycle optionally substituted with one or more substituents selected from R^Z ;

R^z is selected from:

- 1) (C=O)_rO_s(C₁-C₁₀)alkyl,
- 2) O_r(C₁-C₃)perfluoroalkyl,
- 3) (C₀-C₆)alkylene-S(O)_mR^a,
- 5 4) oxo,
- 5) OH,
- 6) halo,
- 7) CN,
- 8) (C=O)_rO_s(C₂-C₁₀)alkenyl,
- 10 9) (C=O)_rO_s(C₂-C₁₀)alkynyl,
- 10) (C=O)_rO_s(C₃-C₆)cycloalkyl,
- 11) (C=O)_rO_s(C₀-C₆)alkylene-aryl,
- 12) (C=O)_rO_s(C₀-C₆)alkylene-heterocyclyl,
- 13) (C=O)_rO_s(C₀-C₆)alkylene-N(R^b)₂,
- 15 14) C(O)R^a,
- 15) (C₀-C₆)alkylene-CO₂R^a,
- 16) C(O)H,
- 17) (C₀-C₆)alkylene-CO₂H,
- 18) C(O)N(R^b)₂,
- 20 19) S(O)_mR^a,
- 20) S(O)₂NR⁹R¹⁰
- 21) NR^c(C=O)O_bR^a,
- 22) O(C=O)O_bC₁-C₁₀ alkyl,
- 23) O(C=O)O_bC₃-C₈ cycloalkyl,
- 25 24) O(C=O)O_baryl, and
- 25) O(C=O)O_b-heterocycle,

said alkyl, alkenyl, alkynyl, cycloalkyl, aryl, and heterocyclyl is optionally substituted with up to three substituents selected from R^b, OH, (C₁-C₆)alkoxy, halogen, CO₂H, CN, O(C=O)C₁-C₆ alkyl, oxo, and N(R^b)₂;

30

R^a is (C₁-C₆)alkyl, (C₂-C₆)alkenyl, (C₂-C₆)alkynyl, (C₃-C₆)cycloalkyl, substituted or unsubstituted aryl, (C₁-C₆)perfluoroalkyl, 2,2,2-trifluoroethyl, or substituted or unsubstituted heterocyclyl; and

R^b is H, (C₁-C₆)alkyl, aryl, heterocyclyl, (C₃-C₆)cycloalkyl, (C=O)OC₁-C₆ alkyl, (C=O)C₁-C₆ alkyl or S(O)₂R^a;

R^c is selected from:

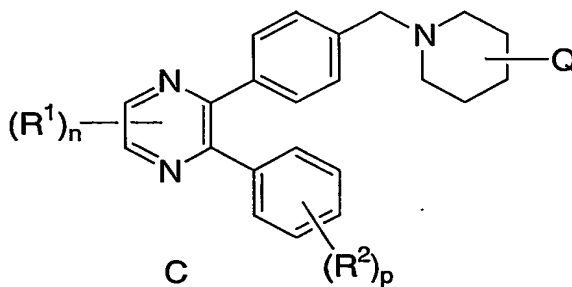
- 5 1) H,
- 2) C₁-C₁₀ alkyl,
- 3) aryl,
- 4) C₂-C₁₀ alkenyl,
- 5) C₂-C₁₀ alkynyl,
- 10 6) heterocyclyl,
- 7) C₃-C₈ cycloalkyl,
- 8) C₁-C₆ perfluoroalkyl,

said alkyl, cycloalkyl, aryl, heterocyclyl, alkenyl, and alkynyl is optionally substituted with one or more substituents selected from R^z;

15

or a pharmaceutically acceptable salt or a stereoisomer thereof.

4. The compound according to Claim 2 of the Formula C:



20

wherein:

- a is 0 or 1;
- 25 b is 0 or 1;
- m is 0, 1 or 2;
- n is 0, 1 or 2;
- p is 0, 1 or 2;

r is 0 or 1;

s is 0 or 1;

Q is selected from: -NR⁷R⁸, phenyl, benzimidazolyl, benzimidazolonyl, quinolinyl
 5 and isoquinolinyl, the benzimidazolyl, benzimidazolonyl, quinolinyl and
 isoquinolinyl optionally substituted with R^Z;

R¹ is independently selected from:

- 1) (C=O)_aO_bC₁-C₁₀ alkyl,
- 10 2) (C=O)_aO_baryl,
- 3) C₂-C₁₀ alkenyl,
- 4) C₂-C₁₀ alkynyl,
- 5) (C=O)_aO_b heterocyclyl,
- 6) (C=O)_aO_bC₃-C₈ cycloalkyl,
- 15 7) CO₂H,
- 8) halo,
- 9) CN,
- 10) OH,
- 11) O_bC₁-C₆ perfluoroalkyl,
- 20 12) O_a(C=O)_bNR⁷R⁸,
- 13) NR^c(C=O)NR⁷R⁸,
- 14) S(O)_mR^a,
- 15) S(O)₂NR⁷R⁸,
- 16) NR^cS(O)_mR^a,
- 25 17) oxo,
- 18) CHO,
- 19) NO₂,
- 20) NR^c(C=O)O_bR^a,
- 21) O(C=O)O_bC₁-C₁₀ alkyl,
- 30 22) O(C=O)O_bC₃-C₈ cycloalkyl,
- 23) O(C=O)O_baryl, and
- 24) O(C=O)O_b-heterocycle,

said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted
 with one or more substituents selected from R^Z;

35

R² is independently selected from:

- 1) (C=O)_aO_bC₁-C₁₀ alkyl,
- 2) (C=O)_aO_baryl,
- 3) C₂-C₁₀ alkenyl,
- 5 4) C₂-C₁₀ alkynyl,
- 5) (C=O)_aO_b heterocyclyl,
- 6) (C=O)_aO_bC₃-C₈ cycloalkyl,
- 7) CO₂H,
- 8) halo,
- 10 9) CN,
- 10) OH,
- 11) O_bC₁-C₆ perfluoroalkyl,
- 12) O_a(C=O)_bNR⁷R⁸,
- 13) NR^c(C=O)NR⁷R⁸,
- 15 14) S(O)_mR^a,
- 15) S(O)₂NR⁷R⁸,
- 16) NR^cS(O)_mR^a,
- 17) CHO,
- 18) NO₂,
- 20 19) NR^c(C=O)O_bR^a,
- 20) O(C=O)O_bC₁-C₁₀ alkyl,
- 21) O(C=O)O_bC₃-C₈ cycloalkyl,
- 22) O(C=O)O_baryl, and
- 23) O(C=O)O_b-heterocycle,
- 25 said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted with one, two or three substituents selected from R²;

R⁷ and R⁸ are independently selected from:

- 1) H,
- 30 2) (C=O)O_bC₁-C₁₀ alkyl,
- 3) (C=O)O_bC₃-C₈ cycloalkyl,
- 4) (C=O)O_baryl,
- 5) (C=O)O_bheterocyclyl,
- 6) C₁-C₁₀ alkyl,

- 5
- 7) aryl,
 - 8) C₂-C₁₀ alkenyl,
 - 9) C₂-C₁₀ alkynyl,
 - 10) heterocyclyl,
 - 11) C₃-C₈ cycloalkyl,
 - 12) SO₂R^a, and
 - 13) (C=O)NR^b₂,

said alkyl, cycloalkyl, aryl, heterocyclyl, alkenyl, and alkynyl is optionally substituted with one or more substituents selected from R^Z, or

10

R⁷ and R⁸ can be taken together with the nitrogen to which they are attached to form a monocyclic or bicyclic heterocycle with 5-7 members in each ring and optionally containing, in addition to the nitrogen, one or two additional heteroatoms selected from N, O and S, said monocyclic or bicyclic heterocycle optionally substituted with

15

R^Z is selected from:

- 1) (C=O)_rO_s(C₁-C₁₀)alkyl,
- 2) O_r(C₁-C₃)perfluoroalkyl,
- 20 3) (C₀-C₆)alkylene-S(O)_mR^a,
- 4) oxo,
- 5) OH,
- 6) halo,
- 7) CN,
- 25 8) (C=O)_rO_s(C₂-C₁₀)alkenyl,
- 9) (C=O)_rO_s(C₂-C₁₀)alkynyl,
- 10) (C=O)_rO_s(C₃-C₆)cycloalkyl,
- 11) (C=O)_rO_s(C₀-C₆)alkylene-aryl,
- 12) (C=O)_rO_s(C₀-C₆)alkylene-heterocyclyl,
- 30 13) (C=O)_rO_s(C₀-C₆)alkylene-N(R^b)₂,
- 14) C(O)R^a,
- 15) (C₀-C₆)alkylene-CO₂R^a,
- 16) C(O)H,
- 17) (C₀-C₆)alkylene-CO₂H,

- 18) $C(O)N(R^b)_2$,
 19) $S(O)_mR^a$,
 20) $S(O)_2NR^9R^{10}$
 21) $NR^c(C=O)O_bR^a$,
 5 22) $O(C=O)O_bC_1-C_{10}$ alkyl,
 23) $O(C=O)O_bC_3-C_8$ cycloalkyl,
 24) $O(C=O)O_b$ aryl, and
 25) $O(C=O)O_b$ -heterocycle,

10 said alkyl, alkenyl, alkynyl, cycloalkyl, aryl, and heterocyclyl is optionally substituted with up to three substituents selected from R^b , OH, (C_1-C_6) alkoxy, halogen, CO_2H , CN, $O(C=O)C_1-C_6$ alkyl, oxo, and $N(R^b)_2$;

R^a is (C_1-C_6) alkyl, (C_3-C_6) cycloalkyl, aryl, or heterocyclyl; and

- 15 R^b is H, (C_1-C_6) alkyl, aryl, heterocyclyl, (C_3-C_6) cycloalkyl, $(C=O)OC_1-C_6$ alkyl, $(C=O)C_1-C_6$ alkyl or $S(O)_2R^a$;

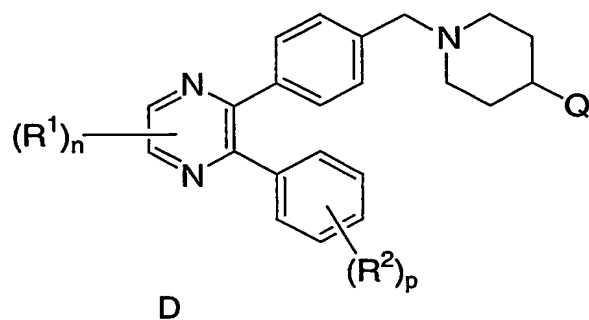
R^c is selected from:

- 20 1) H,
 2) C_1-C_{10} alkyl,
 3) aryl,
 4) C_2-C_{10} alkenyl,
 5) C_2-C_{10} alkynyl,
 6) heterocyclyl,
 25 7) C_3-C_8 cycloalkyl,
 8) C_1-C_6 perfluoroalkyl,

said alkyl, cycloalkyl, aryl, heterocyclyl, alkenyl, and alkynyl is optionally substituted with one or more substituents selected from R^Z ;

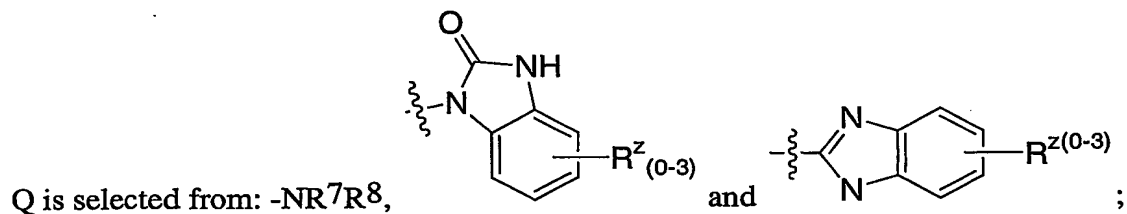
- 30 or a pharmaceutically acceptable salt or a stereoisomer thereof.

5. The compound according to Claim 4 of the Formula D:



wherein:

- a is 0 or 1;
 5 b is 0 or 1;
 m is 0, 1 or 2;
 n is 0, 1 or 2;
 p is 0, 1 or 2;
 r is 0 or 1;
 10 s is 0 or 1;



R¹ is independently selected from:

- 15 1) (C=O)_aO_bC₁-C₁₀ alkyl,
 2) (C=O)_aO_baryl,
 3) C₂-C₁₀ alkenyl,
 4) C₂-C₁₀ alkynyl,
 5) (C=O)_aO_b heterocyclyl,
 20 6) (C=O)_aO_bC₃-C₈ cycloalkyl,
 7) CO₂H,
 8) halo,
 9) CN,
 10) OH,

- 11) $O_bC_1-C_6$ perfluoroalkyl,
- 12) $O_a(C=O)_bNR^7R^8$,
- 13) $NR^c(C=O)NR^7R^8$,
- 14) $S(O)_mR^a$,
- 5 15) $S(O)_2NR^7R^8$,
- 16) $NR^cS(O)_mR^a$,
- 17) oxo,
- 18) CHO,
- 19) NO_2 ,
- 10 20) $NR^c(C=O)O_bR^a$,
- 21) $O(C=O)O_bC_1-C_{10}$ alkyl,
- 22) $O(C=O)O_bC_3-C_8$ cycloalkyl,
- 23) $O(C=O)O_b$ aryl, and
- 24) $O(C=O)O_b$ -heterocycle,
- 15 said alkyl, aryl, alkenyl, alkynyl, heterocyclyl, and cycloalkyl optionally substituted with one or more substituents selected from R^Z ;

R^2 is independently selected from:

- 1) C_1-C_6 alkyl,
- 20 2) aryl,
- 3) heterocyclyl,
- 4) CO_2H ,
- 5) halo,
- 6) CN,
- 25 7) OH,
- 8) $S(O)_2NR^7R^8$,

said alkyl, aryl and heterocyclyl optionally substituted with one, two or three substituents selected from R^Z ;

30 R^7 and R^8 are independently selected from:

- 1) H,
- 2) $(C=O)O_bC_1-C_{10}$ alkyl,
- 3) $(C=O)O_bC_3-C_8$ cycloalkyl,
- 4) $(C=O)O_b$ aryl,

- 5) (C=O)O_bheterocyclyl,
- 6) C₁-C₁₀ alkyl,
- 7) aryl,
- 8) C₂-C₁₀ alkenyl,
- 5 9) C₂-C₁₀ alkynyl,
- 10) heterocyclyl,
- 11) C₃-C₈ cycloalkyl,
- 12) SO₂R^a, and
- 13) (C=O)NR^b₂,

10 said alkyl, cycloalkyl, aryl, heterocyclyl, alkenyl, and alkynyl is optionally substituted with one or more substituents selected from R^Z, or

R⁷ and R⁸ can be taken together with the nitrogen to which they are attached to form a monocyclic or bicyclic heterocycle with 5-7 members in each ring and optionally
 15 containing, in addition to the nitrogen, one or two additional heteroatoms selected from N, O and S, said monocyclic or bicyclic heterocycle optionally substituted with one or more substituents selected from R^Z;

R^Z is selected from:

- 20 1) (C=O)_rO_s(C₁-C₁₀)alkyl,
- 2) O_r(C₁-C₃)perfluoroalkyl,
- 3) (C₀-C₆)alkylene-S(O)_mR^a,
- 4) oxo,
- 5) OH,
- 25 6) halo,
- 7) CN,
- 8) (C=O)_rO_s(C₂-C₁₀)alkenyl,
- 9) (C=O)_rO_s(C₂-C₁₀)alkynyl,
- 10) (C=O)_rO_s(C₃-C₆)cycloalkyl,
- 30 11) (C=O)_rO_s(C₀-C₆)alkylene-aryl,
- 12) (C=O)_rO_s(C₀-C₆)alkylene-heterocyclyl,
- 13) (C=O)_rO_s(C₀-C₆)alkylene-N(R^b)₂,
- 14) C(O)R^a,
- 15) (C₀-C₆)alkylene-CO₂R^a,

- 16) C(O)H,
 17) (C₀-C₆)alkylene-CO₂H,
 18) C(O)N(R^b)₂,
 19) S(O)_mR^a, and
 5 20) S(O)₂N(R^b)₂
 21) NR^c(C=O)O_bR^a,
 22) O(C=O)O_bC₁-C₁₀ alkyl,
 23) O(C=O)O_bC₃-C₈ cycloalkyl,
 24) O(C=O)O_baryl, and
 10 25) O(C=O)O_b-heterocycle,

said alkyl, alkenyl, alkynyl, cycloalkyl, aryl, and heterocyclyl is optionally substituted with up to three substituents selected from R^b, OH, (C₁-C₆)alkoxy, halogen, CO₂H, CN, O(C=O)C₁-C₆ alkyl, oxo, and N(R^b)₂;

15 R^a is (C₁-C₆)alkyl, (C₃-C₆)cycloalkyl, aryl, or heterocyclyl; and

R^b is H, (C₁-C₆)alkyl, aryl, heterocyclyl, (C₃-C₆)cycloalkyl, (C=O)OC₁-C₆ alkyl, (C=O)C₁-C₆ alkyl or S(O)₂R^a;

20 R^c is selected from:

- 1) H,
 2) C₁-C₁₀ alkyl,
 3) aryl,
 4) C₂-C₁₀ alkenyl,
 25 5) C₂-C₁₀ alkynyl,
 6) heterocyclyl,
 7) C₃-C₈ cycloalkyl,
 8) C₁-C₆ perfluoroalkyl,

said alkyl, cycloalkyl, aryl, heterocyclyl, alkenyl, and alkynyl is optionally substituted
 30 with one or more substituents selected from R^z;

or a pharmaceutically acceptable salt or a stereoisomer thereof.

6. The compound according to Claim 1 which is selected from:

1-{1-[4-(6-hydroxy-5-isobutyl-3-phenylpyrazin-2-yl)benzyl]piperidin-4-yl}-1,3-dihydro-2H-benzimidazol-2-one;

5 1-{1-[4-(5-hydroxy-6-isobutyl-3-phenylpyrazin-2-yl)benzyl]piperidin-4-yl}-1,3-dihydro-2H-benzimidazol-2-one;

1-(1-{4-[5-hydroxy-6-(1H-indol-3-ylmethyl)-3-phenylpyrazin-2-yl]benzyl}piperidin-4-yl)-1,3-dihydro-2H-benzimidazol-2-one; and

10

1-(1-{4-[6-hydroxy-5-(1H-indol-3-ylmethyl)-3-phenylpyrazin-2-yl]benzyl}piperidin-4-yl)-1,3-dihydro-2H-benzimidazol-2-one;

or a pharmaceutically acceptable salt thereof.

15

7. The TFA salts according to Claim 1 selected from:

1-{1-[4-(6-hydroxy-5-isobutyl-3-phenylpyrazin-2-yl)benzyl]piperidin-4-yl}-1,3-dihydro-2H-benzimidazol-2-one;

20

1-{1-[4-(5-hydroxy-6-isobutyl-3-phenylpyrazin-2-yl)benzyl]piperidin-4-yl}-1,3-dihydro-2H-benzimidazol-2-one;

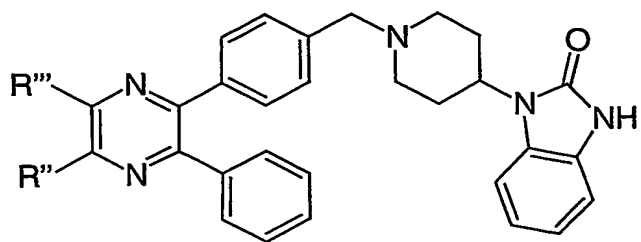
1-(1-{4-[5-hydroxy-6-(1H-indol-3-ylmethyl)-3-phenylpyrazin-2-yl]benzyl}piperidin-4-yl)-1,3-dihydro-2H-benzimidazol-2-one; and

25

1-(1-{4-[6-hydroxy-5-(1H-indol-3-ylmethyl)-3-phenylpyrazin-2-yl]benzyl}piperidin-4-yl)-1,3-dihydro-2H-benzimidazol-2-one.

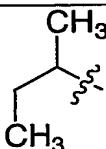
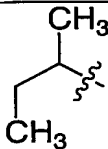
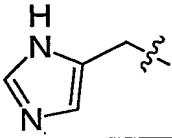
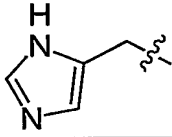
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8. The compound according to Claim 1 which is selected from:



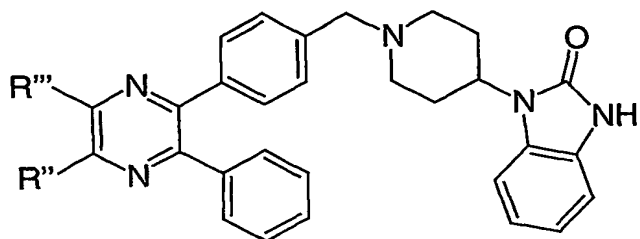
<u>R''</u>	<u>R'''</u>
-OH	-CH ₂ CH(CH ₃) ₂
-CH ₂ CH(CH ₃) ₂	-OH
-OH	H
H	-OH
-OH	-CH ₂ Ph
-CH ₂ Ph	-OH

<u>R''</u>	<u>R'''</u>
-CH ₂ Ph	-OH

-OH	
	-OH
-OH	-CH ₂ OH
-CH ₂ OH	-OH
-OH	
	-OH
-OH	-CH ₃
-CH ₃	-OH

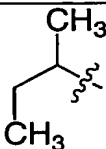
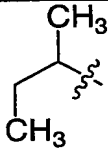
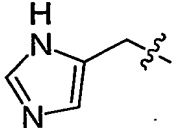
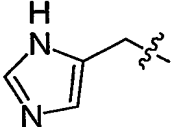
or a pharmaceutically acceptable salt or a stereoisomer thereof.

9. The TFA salt according to Claim 1 selected from:



<u>R''</u>	<u>R'''</u>
-OH	-CH ₂ CH(CH ₃) ₂
-CH ₂ CH(CH ₃) ₂	-OH
-OH	H
H	-OH
-OH	-CH ₂ Ph
-CH ₂ Ph	-OH

<u>R''</u>	<u>R'''</u>
-CH ₂ Ph	-OH

-OH	
	-OH
-OH	-CH ₂ OH
-CH ₂ OH	-OH
-OH	
	-OH
-OH	-CH ₃
-CH ₃	-OH

or a stereoisomer thereof.

10. A pharmaceutical composition comprising a pharmaceutical
 5 carrier, and dispersed therein, a therapeutically effective amount of a compound of
 Claim 1.

11. A pharmaceutical composition comprising a pharmaceutical carrier, and dispersed therein, a therapeutically effective amount of a compound of Claim 6.

5 12. A pharmaceutical composition comprising a pharmaceutical carrier, and dispersed therein, a therapeutically effective amount of a compound of Claim 8.

10 13. A method of inhibiting one or more of the isoforms of Akt in a mammal which comprises administering to the mammal a therapeutically effective amount of a compound of Claim 1.

15 14. A method of inhibiting one or more of the isoforms of Akt in a mammal which comprises administering to the mammal a therapeutically effective amount of a compound of Claim 6.

20 15. A method of inhibiting one or more of the isoforms of Akt in a mammal which comprises administering to the mammal a therapeutically effective amount of a compound of Claim 8.

16. A method for treating cancer which comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of Claim 1.

25 17. A method for treating cancer which comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of Claim 6.

30 18. A method for treating cancer which comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of Claim 8.

35 19. A pharmaceutical composition made by combining the compound of Claim 1 and a pharmaceutically acceptable carrier.

20. A process for making a pharmaceutical composition comprising combining a compound of Claim 1 and a pharmaceutically acceptable carrier.

5 21. The composition of Claim 10 further comprising a second compound selected from:

- 1) an estrogen receptor modulator,
- 2) an androgen receptor modulator,
- 3) retinoid receptor modulator,
- 10 4) a cytotoxic agent,
- 5) an antiproliferative agent,
- 6) a prenyl-protein transferase inhibitor,
- 7) an HMG-CoA reductase inhibitor,
- 8) an HIV protease inhibitor,
- 15 9) a reverse transcriptase inhibitor,
- 10) an angiogenesis inhibitor,
- 11) a PPAR- γ agonists,
- 12) a PPAR- δ agonists,
- 13) an inhibitor of cell proliferation and survival signaling, and
- 20 14) an agent that interferes with a cell cycle checkpoint.

22. The composition of Claim 21, wherein the second compound is an angiogenesis inhibitor selected from the group consisting of a tyrosine kinase inhibitor, an inhibitor of epidermal-derived growth factor, an inhibitor of fibroblast-
25 derived growth factor, an inhibitor of platelet derived growth factor, an MMP inhibitor, an integrin blocker, interferon- α , interleukin-12, pentosan polysulfate, a cyclooxygenase inhibitor, carboxyamidotriazole, combretastatin A-4, squalamine, 6-O-(chloroacetyl-carbonyl)-fumagillol, thalidomide, angiostatin and troponin-1.

30 23. The composition of Claim 21, wherein the second compound is an estrogen receptor modulator selected from tamoxifen and raloxifene.

24. A method of treating cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with
35 radiation therapy.

25. A method of treating or preventing cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with a compound selected from:

- 5 1) an estrogen receptor modulator,
- 2) an androgen receptor modulator,
- 3) retinoid receptor modulator,
- 4) a cytotoxic agent,
- 5) an antiproliferative agent,
- 10 6) a prenyl-protein transferase inhibitor,
- 7) an HMG-CoA reductase inhibitor,
- 8) an HIV protease inhibitor,
- 9) a reverse transcriptase inhibitor,
- 10) an angiogenesis inhibitor,
- 15 11) a PPAR- γ agonists,
- 12) a PPAR- δ agonists,
- 13) an inhibitor of inherent multidrug resistance,
- 14) an anti-emetic agent,
- 15) an agent useful in the treatment of anemia,
- 20 16) an agent useful in the treatment of neutropenia,
- 17) an immunologic-enhancing drug,
- 18) an inhibitor of cell proliferation and survival signaling, and
- 19) an agent that interferes with a cell cycle checkpoint.

25 26. A method of treating cancer which comprises administering a therapeutically effective amount of a compound of Claim 1 in combination with radiation therapy and a compound selected from:

- 1) an estrogen receptor modulator,
- 2) an androgen receptor modulator,
- 30 3) retinoid receptor modulator,
- 4) a cytotoxic agent,
- 5) an antiproliferative agent,
- 6) a prenyl-protein transferase inhibitor,
- 7) an HMG-CoA reductase inhibitor,
- 35 8) an HIV protease inhibitor,

- 5 9) a reverse transcriptase inhibitor,
 10) an angiogenesis inhibitor,
 11) a PPAR- γ agonists,
 12) a PPAR- δ agonists,
 13) an inhibitor of inherent multidrug resistance,
 14) an anti-emetic agent,
 15) an agent useful in the treatment of anemia,
 16) an agent useful in the treatment of neutropenia,
 17) an immunologic-enhancing drug,
10 18) an inhibitor of cell proliferation and survival signaling, and
 19) an agent that interferes with a cell cycle checkpoint.

27. A method of treating or preventing cancer which comprises
administering a therapeutically effective amount of a compound of Claim 1 and
15 paclitaxel or trastuzumab.